Welcome to STN International! Enter x:x LOGINID:SSPTAJHM1624 PASSWORD: TERMINAL (ENTER 1, 2, 3, OR ?):2 Welcome to STN International NEWS NEWS MAR 15 MAR 16 NEWS CASREACT coverage extended MAR 20 MARPAT now updated daily NEWS MAR 22 NEWS 5 LWPI reloaded MAR 30 NEWS 6 APR 02 NEWS 7 APR 30 NEWS 8 NEWS 9 APR 30

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Web Page for STN Seminar Schedule - N. America
                 WPIDS/WPIX enhanced with new FRAGHITSTR display format
                 RDISCLOSURE reloaded with enhancements
                 JICST-EPLUS removed from database clusters and STN
                 GENBANK reloaded and enhanced with Genome Project ID field
                 CHEMCATS enhanced with 1.2 million new records
NEWS 10
         APR 30
                 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11
        APR 30
                 INPADOC replaced by INPADOCDB on STN
        MAY 01
NEWS 12
                 New CAS web site launched
         MAY 08
NEWS 13
                 CA/CAplus Indian patent publication number format defined
NEWS 14 MAY 14
                 RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 15
        MAY 21
                 BIOSIS reloaded and enhanced with archival data
NEWS 16
        MAY 21
                 TOXCENTER enhanced with BIOSIS reload
NEWS 17
        MAY 21
                 CA/CAplus enhanced with additional kind codes for German
                 patents
NEWS 18
        MAY 22
                 CA/CAplus enhanced with IPC reclassification in Japanese
                 patents
         JUN 27
NEWS 19
                 CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20
         JUN 29
                 STN Viewer now available
         JUN 29
NEWS 21
                 STN Express, Version 8.2, now available
NEWS 22
         JUL 02
                 LEMBASE coverage updated
NEWS 23
         JUL 02
                LMEDLINE coverage updated
         JUL 02
NEWS 24
                 SCISEARCH enhanced with complete author names
NEWS 25
         JUL 02
                 CHEMCATS accession numbers revised
NEWS 26
         JUL 02
                CA/CAplus enhanced with utility model patents from China
NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 4 MAY 2007.
```

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 16:13:18 ON 02 JUL 2007

=> FILE REGISTRY COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10564844a.str

chain nodes :

10 11 24 25 26 27 28 29 30

ring nodes :

1 2 3 4 5 6 7 8 9 12 13 14 15 16 17 18 19 20 21 22 23 chain bonds:

1-10 3-11 7-18 11-12 14-25 22-24 25-30 25-26 26-27 27-28 28-29 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16 16-17 18-19 18-23 19-20 20-21 21-22 22-23

exact/norm bonds :

3-11 5-7 6-9 7-8 7-18 8-9 11-12 25-30 25-26

exact bonds :

1-10 14-25 22-24 26-27 27-28 28-29

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 18-19 18-23 19-20 20-21 21-22 22-23

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 16:13:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED

1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

1 TO 0 TO 80

PROJECTED ANSWERS:

O SEA SSS SAM L'1

=> s l1 full

FULL SEARCH INITIATED 16:13:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

7 TO ITERATE

100.0% PROCESSED

7 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

 L_3

L2

1 SEA SSS FUL L1

=> d scan

L3 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 3-[[3-(3-fluorophenyl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5yl]amino]-N-(2-hydroxyethyl)- (9CI)

MF C19 H16 F N7 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

172.10 172.31

FILE 'CAPLUS' ENTERED AT 16:14:08 ON 02 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 2 Jul 2007 VOL 147 ISS 2 FILE LAST UPDATED: 1 Jul 2007 (20070701/ED) Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 13

L4 1 L3

=> d l4 ibib abs hitstr

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:122890 CAPLUS

DOCUMENT NUMBER:

142:219305

TITLE:

Preparation of triazolopyrimidines as glycogen

synthase kinase 3 inhibitors

INVENTOR(S):

Freyne, Eddy Jean Edgard; Love, Christopher John;

Cooymans, Ludwig Paul; Vandermaesen, Nele; Buijnsters,

Peter Jacobus Johannes Antonius; Willems, Marc;

Embrechts, Werner Constant Johan

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

						KIND DATE			APPLICATION NO.						DATE			
WC	WO 2005012307								WO 2004-EP51455						20040712			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK;	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	
•		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		SN,	TD,	TG	•													
ΑÜ	J 2004	2607	38		- A1		2005	0210	1	AU 2	004-	2607	38		2	0040	712	
	2531																	
E	1658	292			A1		2006	0524	1	EP 2	004-	7661	89		2	0040	712	
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
CN	V 1823	068			Α		2006	0823	(CN 2	004-	8002	0148		2	0040	712	
BF	2004	0125	96		Α		2006	0919]	BR 2	004-	1259	6		2	040	712	
	2006																	
NC	2006	0006,	78		Α		2006	0210]	NO 2	006-	678			2	0060	210	
PRIORIT	TY APP	LN.	INFO	.:					Ţ	WO 2	003-1	EP35	0310	7	A 20	0030	716	
									Ţ	WO 2	004-1	EP51	455	1	N 20	040	712	
OTHER SOURCE(S): CASREACT 142:219305; MARPAT 142:219305																		

GI

$$\begin{array}{c|c}
R^3 & X - R^2 \\
 & N & N & N \\
 & N & N & N
\end{array}$$

Ι

ΙI

AB The title compds. I [ring A = Ph, pyridyl, pyrimidinyl, pyridazinyl or pyrazinyl; R1 = H, aryl, formyl, etc.; X = a direct bond, (CH2)n or (CH2)mXlaXlb (n = 1-4; m = 1-2; X1a = 0, C(0), NR5; X1b = a direct bond, alkyl); R2 = cycloalkyl, Ph, 4-7 membered monocyclic heterocycle containing at least one heteroatom. selected from 0, S or N, benzoxazolyl, etc.; R3 = halo, OH, (un)substituted alkyl, alkenyl or alkynyl, etc.; R4 = H, halo, OH, (un)substituted alkyl, etc.; R5 = H, alkyl, alkenyl], useful for the prevention or the treatment of diseases mediated through GSK3, were prepared E.g., a 4-step synthesis of II which showed pIC50 of > 8 against GSK3β and against GSK3α, was given. The pharmaceutical composition comprising the compound I is disclosed.
IT 840535-34-4P

840535-34-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolopyrimidines as glycogen synthase kinase 3 inhibitors)

RN 840535-34-4 CAPLUS

CN Benzamide, 3-[[3-(3-fluorophenyl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

5.74 178.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

TOTAL SESSION

CA SUBSCRIBER PRICE

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-0.78

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10564844b.str

chain nodes :
10 11 19 21
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
1-10 3-11 7-19 11-12 11-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
3-11 5-7 6-9 7-8 7-19 8-9 11-12 11-21
exact bonds :
1-10
normalized bonds :

G1:Cy,H,Ak,C

G2:H,C,Cb,Ak

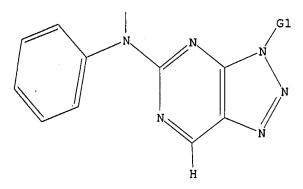
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 21:CLASS

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17

L5 STRUCTURE UPLOADED

=> d 15 L5 HAS NO ANSWERS L5 STR



G1 Cy,H,Ak,C G2 H,C,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 15 SAMPLE SEARCH INITIATED 16:15:14 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 132 TO ITERATE

100.0% PROCESSED 132 ITERATIONS 28 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

1951 TO 3329

PROJECTED ANSWERS:

243 TO 877

28 SEA SSS SAM L5

=> d scan

REGISTRY COPYRIGHT 2007 ACS on STN L6 28 ANSWERS

IN Benzeneacetamide, 3-[5-[[3-(5-oxazolyl)phenyl]amino]-3H-1,2,3-triazolo[4,5-

d]pyrimidin-3-yl]- (9CI)

MF C21 H16 N8 O2

$$H_2N-C-CH_2$$
 N
 N
 N
 N
 N

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

REGISTRY COPYRIGHT 2007 ACS on STN L6 28 ANSWERS

IN Benzoic acid, 2-(acetylamino)-5-[[3-[3-[(methoxyacetyl)amino]methyl]pheny 1]-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]-, methyl ester (9CI)

C24 H24 N8 O5

MF

ACNH
$$CH_2-NH-C-CH_2-OMe$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 15 full sss

FULL SEARCH INITIATED 16:17:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2448 TO ITERATE

100.0% PROCESSED 2448 ITERATIONS

601 ANSWERS

SEARCH TIME: 00.00.01

L7 601 SEA SSS FUL L5

=> d scan

L7 601 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 4-[[3-[3-[(butylamino)sulfonyl]phenyl]-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]-N-[2-(diethylamino)ethyl]- (9CI)

MF C27 H35 N9 O3 S

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 173.90 351.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

-0.78

0.00

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FILE COVERS 1907 - 2 Jul 2007 VOL 147 ISS 2 FILE LAST UPDATED: 1 Jul 2007 (20070701/ED) Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html => s d7 L8 2492 D7 => s 17 8 L7 L9 => d 19ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN L9 AN 2006:884440 CAPLUS DN 145:293080 ΤI Preparation and GSK-3 modulation of 2,4,5-trisubstituted pyrimidine and bicyclic 2-aminopyrimidine derivatives Sebo, Lubomir; Kahl, Jeffrey; Lum, Christopher; Pei, Yazhong; Pryor, Kent E.; Urban, Jan; Jones, Bryan; Sullivan, Robert PA Kemia, Inc., USA SO PCT Int. Appl., 119pp. CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----------WO 2006091737 20060831 WO 2006-US6447 **A1** 20060223 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRAI US 2005-656265P P 20050224 US 2005-691519P Ρ 20050617 US 2005-725369P P 20051011 MARPAT 145:293080 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT => d 19 1-8 ibib abs hitstr ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:884440 CAPLUS DOCUMENT NUMBER: 145:293080 TITLE: Preparation and GSK-3 modulation of 2,4,5-trisubstituted pyrimidine and bicyclic 2-aminopyrimidine derivatives INVENTOR(S): Sebo, Lubomir; Kahl, Jeffrey; Lum, Christopher; Pei, Yazhong; Pryor, Kent E.; Urban, Jan; Jones, Bryan; Sullivan, Robert PATENT ASSIGNEE(S): Kemia, Inc., USA

PCT Int. Appl., 119pp.

CODEN: PIXXD2

SOURCE:

DOCUMENT TYPE:

Patent English

LANGUAGE:

GI

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						KIND DATE			APPLICATION NO.									
WO	WO 2006091737					-	2006	0831							_	0060		
•	W:						AU,								-			
	** .						DE,									-	-	
			•	-	-		•	•	•	•	•	•	•	•	•			
							ID,											
							LT,											
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ,	MD,	RU,	TJ,	TM							-		-		
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	005-	6562	65P		P 2	0050	224	
									1	US 2	005-	6915	19P		P 2	0050	617	
			•						1	US 2	005-	7253	69P			0051	-	
OTHER S		MAR	PAT	145:	2930	30												

$$\begin{array}{c|c}
 & N \\
 & N \\$$

AB 2,4,5-Trisubstituted pyrimidine and bicyclic 2-aminopyrimidine derivs. I, wherein A is (un)substituted alkyl, halo, cyano, nitro, amine; B and C are independently NH, CH2 or carbonyl; R1 is (un)substituted cycloalkyl or cycloalkenyl; R2 is (un)substituted Me, (un)substituted cycloalkyl, (un)substituted cycloalkenyl groups are prepared Thus, II was prepared and displayed >40% inhibition in either GSK-3 α or GSK-3 β assay at 10 μ M. Due to their ability to modulate GSK-3 activity, I can be used as prodrugs in the treatment of CNS diseases, such as Alzheimer's disease and mood disorders, and metabolic diseases, such as insulin requiring states.

IT 908299-40-1P 908299-41-2P 908299-45-6P
 908299-52-5P 908299-60-5P 908299-63-8P
 908299-66-1P 908299-69-4P 908299-71-8P
 908299-72-9P 908300-03-8P 908300-05-0P
 908300-11-8P 908300-13-0P 908300-19-6P
 908300-33-4P 908300-43-6P 908300-44-7P
 908300-48-1P 908300-49-2P 908300-70-9P
 908301-54-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 908300-44-7 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5-[(3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl)amino]- (9CI) (CA INDEX NAME)

RN 908300-48-1 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 5-[[3-(2,3-dihydro-1H-inden-5-yl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & & \\ \hline \\ N & & & & \\ N & & & & \\ \hline \\ O & & & & \\ \end{array}$$

RN 908300-49-2 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,3-dihydro-1H-inden-5-yl)-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)

RN 908300-70-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, N-1H-benzotriazol-5-yl-3-phenyl-(9CI) (CA INDEX NAME)

RN 908301-54-2 CAPLUS

CN 1(3H)-Isobenzofuranone, 6-[(3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidin-5yl)amino] - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:700145 CAPLUS

DOCUMENT NUMBER:

145:167276

TITLE:

Preparation of triazolopyrimidine derivatives as

serine-tyrosine and tyrosine kinases inhibitors

Ludovici, Donald W.; Connors, Richard W.; Coats, Steven J.; Liu, Li; De Corte, Bart L.; Johnson, Dana

L.; Schulz, Mark J.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	ATENT	NO.			KIN	D	DATE			APPL	ICAT:	ION 1	. 01		D	ATE		
WC	2006	0764	42		A2	•••	2006	0720	,	WO 2	006-1	JS 9 9 9	 9		2	0060	111	
		AE, CN, GE, KZ, MZ, SG,	AG, CO, GH, LC, NA, SK,	AL, CR, GM, LK, NG, SL,	AM, CU, HR, LR, NI,	AT, CZ, HU, LS, NO, SY,		AZ, DK, IL, LU, OM,	BA, DM, IN, LV, PG,	BB, DZ, IS, LY, PH,	BG, EC, JP, MA, PL,	BR, EE, KE, MD, PT,	BW, EG, KG, MG, RO,	BY, ES, KM, MK, RU,	BZ, FI, KN, MN, SC,	CA, GB, KP, MW, SD,	CH, GD, KR, MX, SE,	
	RW:	AT, IS, CF, GM,	BE, IT, CG, KE,	BG, LT, CI, LS,	CH, LU, CM,	CY, LV, GA, MZ,	MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,	
US PRIORIT OTHER S		0152 LN.	07 INFO	. :	ΑÌ	·	2007		. 1	US 20 US 20					_	0060: 0050:		

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CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 900797-65-1P 900797-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triazolopyrimidine derivs. as serine-tyrosine and tyrosine kinases inhibitors)

RN 900797-65-1 CAPLUS

CN Benzoic acid, 3-[[3-(2,3-dihydro-1H-inden-5-yl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]- (9CI) (CA INDEX NAME)

RN 900797-71-9 CAPLUS

CN 1-Propanol, 3-[4-[[3-(2,3-dihydro-1H-inden-5-yl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]phenoxy]-, 4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:699866 CAPLUS

DOCUMENT NUMBER: 145:167273

TITLE: Preparation of triazolopyrimidine derivatives as

glycogen synthase kinase 3 inhibitors

INVENTOR(S):
Love, Christopher John; Cooymans, Ludwig Paul;

Vandermaesen, Nele

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIND	DATE	API	PLICAT		DATE				
WO 2006075	023	A2	2006072	OW C	2006-E	EP50206		20060113			
WO 2006075	023	A3	2006092	3							
W: AE	, AG, AL,	AM, AT	r, au, az	, BA, BI	B, BG,	BR, BW,	BY, BZ	, CA, CH,			
CN	, CO, CR,	CU, C2	Z, DE, DK	, DM, D2	Z, EC,	EE, EG,	ES, FI	, GB, GD,			
GE	, GH, GM,	HR, HU	J, ID, IL	, IN, IS	S, JP,	KE, KG,	KM, KN	, KP, KR,			
KZ	, LC, LK,	LR, LS	, LT, LU	, LV, LY	Y, MA,	MD, MG,	MK, MN	, MW, MX,			
MZ	, NA, NG,	NI, NO), NZ, OM	, PG, Pi	H, PL,	PT, RO,	RU, SC	SD, SE,			
SG	, SK, SL,	SM, SY	, TJ, TM	, TN, TF	R, TT,	TZ, UA,	UG, US	, UZ, VC,			
VN	, YU, ZA,	ZM, ZW	J								
RW: AT	, BE, BG,	CH, CY	, CZ, DE	, DK, E	E, ES,	FI, FR,	GB, GR	, HU, IE,			
IS	, IT, LT,	LU, LV	, MC, NL	, PL, P7	Γ, RO,	SE, SI,	SK, TR	, BF, BJ,			
CF	, CG, CI,	CM, GA	A, GN, GQ	, GW, MI	L, MR,	NE, SN,	TD, TG	, BW, GH,			
GM	, KE, LS,	MW, M2	Z, NA, SD	, SL, S2	Z, TZ,	UG, ZM,	ZW, AM	I, AZ, BY,			
KG	, KZ, MD,	RU, TJ	J, TM								
PRIORITY APPLN.	INFO.:			EP	2005-1	A 20050114					
OTHER SOURCE(S)	:	MARPAT	MARPAT 145:167273								
GI											

AB Title compds. represented by the formula I [wherein ring A = Ph, pyridyl, pyrimidinyl, pyridazinyl or pyrazinyl; R1 = H, aryl, formyl, alkyl, etc.; R2 = cycloalkyl, Ph, benzoxazolyl, etc.; X = a direct bond, -(CH2)m- or -(CH2)n-Xa-Xb-; m = 1-4; n = 1 or 2; Xa = 0, CO or NR3; Xb = a direct bondor alkyl; R3 = H, alkyl or alkenyl; and N-oxides, pharmaceutically acceptable salts, quaternary amines and stereoisomers thereof] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, II was provided in a multi-step synthesis starting from reaction of 2,4-dichloro-5-nitropyrimidine with N-ethyl-N-(1-methylethyl)-2propanamine. I were tested for inhibition of GSK3 β and GSK3 α . Thus, I and their pharmaceutical compns. are useful as for the treatment of glycogen synthase kinase 3 mediated disorders or diseases, such as mental and behavioral disorders, dementia and etc. IT 900185-29-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of triazolopyrimidine derivs. as glycogen synthase kinase 3 inhibitors)

RN 900185-29-7 CAPLUS

CN Carbamic acid, [[3-[5-(phenylamino)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 900185-42-4 CAPLUS

Benzeneacetamide, 3-[5-(phenylamino)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-CN yl]- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 4 OF 8

ACCESSION NUMBER:

2006:411903 CAPLUS 144:450727

DOCUMENT NUMBER: TITLE:

Preparation of HIV inhibiting bicyclic pyrimidine

derivatives

INVENTOR(S):

Janssen, Paul Adriaan Jan; Guillemont, Jerome Emile

Georges; Paugam, Mikaeel; Delest, Bruno Francois

Marie; Heeres, Jan; Lewi, Paulus Joannes

PATENT ASSIGNEE(S):

Tibotec Pharmaceuticals Ltd., Ire.; Arts, Frank Xavier

Jozef Herwig

SOURCE:

PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
WO 2006045828	A1 20060504	WO 2005-EP55589	20051027				
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,				
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,				
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KM, KN, KP, KR,				
KZ, LC, LK,	LR, LS, LT, LU,	LV, LY, MA, MD, MG,	MK, MN, MW, MX,				
MZ, NA, NG,	NI, NO, NZ, OM,	PG, PH, PL, PT, RO,	RU, SC, SD, SE,				
SG, SK, SL,	SM, SY, TJ, TM,	TN, TR, TT, TZ, UA,	UG, US, UZ, VC,				
VN, YU, ZA,	ZM, ZW						
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,				
IS, IT, LT,	LU, LV, MC, NL,	PL, PT, RO, SE, SI,	SK, TR, BF, BJ,				
CF, CG, CI,	CM, GA, GN, GQ,	GW, ML, MR, NE, SN,	TD, TG, BW, GH,				
GM, KE, LS,	MW, MZ, NA, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,				
KG, KZ, MD,	RU, TJ, TM						
AU 2005298637	A1 20060504	AU 2005-298637	20051027				
CA 2577588	A1 20060504	CA 2005-2577588	20051027				
PRIORITY APPLN. INFO.:	·	EP 2004-105419	A 20041029				
		WO 2005-EP55589	W 20051027				
OTHER SOURCE(S):	MARPAT 144:45072	27					

GI

$$R^3$$
 b^2
 $b^3 : b^4$
 R^4
 R^4

AΒ HIV replication inhibitors I [-al=a2-a3=a4- = -CH=CH-CH=CH-, -N=CH-CH=CH-, -N=CH-N=CH-, -N=CH-CH=N-, -N=N-CH=CH-; -b1=b2-b3=b4- = -CH=CH-CH=CH-, -N=CH-CH=CH-, -N=CH-N=CH-, -N=CH-CH=N-, -N=N-CH=CH-; -A-B- = -CR5=N-, -N=N-, -CH2CH2-, -CS-NH-, -CO-NH-, -CH=CH-; R1 = H, aryl, formyl, alkylcarbonyl, etc.; R2 = independently OH, halo, (un)substituted alkyl, alkenyl, etc.; R2a = CN, (un) substituted amino, (un) substituted alkyl, etc.; R3 = CN, amino, alkyl, etc.; R4 = independently halo, OH, (un) substituted alkyl, alkenyl, etc.; R5 = H, alkyl, aryl, etc.; Q = H, alkyl, halo, etc.; n, m = 0-4; and N-oxides, pharmaceutically acceptable addition salts, quaternary amines or stereoisomeric forms thereof] were prepared E.g., a multi-step synthesis of II, starting from 4-cyanoaniline and 4-(2-cyanoethenyl)-2-methylphenylamine, was given. The antiviral activity of the compds. I was evaluated in the presence of the wild type HIV and HIV mutants bearing mutations at the reverse transcriptase gene (data given). The invention also relates to the use of compds. I for the prevention or the treatment of HIV infection.

IT 885453-40-7P 885453-41-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of HIV inhibiting bicyclic pyrimidine derivs.)

RN 885453-40-7 CAPLUS

CN Benzonitrile, 4-[[3-[4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl]-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 885453-41-8 CAPLUS

CN Benzonitrile, 4-[[3-[2-chloro-4-[(1E)-2-cyanoethenyl]-6-fluorophenyl]-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

6

ACCESSION NUMBER:

2005:122890 CAPLUS

DOCUMENT NUMBER:

142:219305

TITLE:

Preparation of triazolopyrimidines as glycogen

synthase kinase 3 inhibitors

INVENTOR(S):

Freyne, Eddy Jean Edgard; Love, Christopher John;

Cooymans, Ludwig Paul; Vandermaesen, Nele; Buijnsters,

Peter Jacobus Johannes Antonius; Willems, Marc;

Embrechts, Werner Constant Johan

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 124 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

٦. ١

PATENT INFORMATION:

PA										APPLICATION NO.									
WO 									WO 2004-EP51455										
		ΑE,																	
												EE,							
												KE,							
												MN,							
										-		SD,							
												VC,							
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
												BG,							
•		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
												GN,							
			TD,														•		
AU	2004	2607	38		A1	:	2005	0210		AU 2	004-	260.7	38		2	0040	712		
· CA	2531	333			A1	:	2005	0210	(CA 2	004-	2531	333		2	0040	712		
EP	1658	292			Al	:	2006	0524		EP 2	004-	7661	89		2	0040	712		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
CN	1823	068		·	Α	:	2006	0823	(CN 2	004-	8002	0148		2	0040	712		
	2004																		
US	2006	2057	21		A1	:	2006	0914	1	US 2	006-	5648	44		2	0060	113		
МО	2006	0006	78		Α	2	2006	0210]	NO 2	006-	678			2	0060	210		
PRIORIT	Y APP	LN.	INFO	. :					1	WO 2	003-	EP35	0310		A 2	0030	716		
												EP51				040	712		
Omitmo c	OTTOOR	101			03.01	אם אם	T 7 4 .		2225	147		1 40	0101						

OTHER SOURCE(S):

CASREACT 142:219305; MARPAT 142:219305

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$$\begin{array}{c|c}
R^3 & X - R^2 \\
\hline
A & N & N & N
\end{array}$$

II

Ι

AB The title compds. I [ring A = Ph, pyridyl, pyrimidinyl, pyridazinyl or pyrazinyl; R1 = H, aryl, formyl, etc.; X = a direct bond, (CH2)n or (CH2)mXlaXlb (n = 1-4; m = 1-2; Xla = 0, C(0), NR5; Xlb = a direct bond, alkyl); R2 = cycloalkyl, Ph, 4-7 membered monocyclic heterocycle containing at least one heteroatom. selected from 0, S or N, benzoxazolyl, etc.; R3 = halo, OH, (un)substituted alkyl, alkenyl or alkynyl, etc.; R4 = H, halo, OH, (un)substituted alkyl, etc.; R5 = H, alkyl, alkenyl], useful for the prevention or the treatment of diseases mediated through GSK3, were prepared E.g., a 4-step synthesis of II which showed pIC50 of > 8 against GSK3β and against GSK3α, was given. The pharmaceutical composition comprising the compound I is disclosed.

IT 840534-53-4P 840534-56-7P 840534-88-5P

840537-07-7P

RN

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of triazolopyrimidines as glycogen synthase kinase 3

inhibitors)

840534-53-4 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, N-(3-bromophenyl)-3-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 840534-56-7 CAPLUS

CN 2-Propenoic acid, 3-[3-[[3-(3-fluorophenyl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 840537-40-8 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, N-(4-chlorophenyl)-3-[3-(methoxymethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 840537-79-3 CAPLUS

CN Benzeneethanol, 3-[5-[[4-(2-aminoethyl)phenyl]amino]-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 840537-80-6 CAPLUS

CN Benzeneethanol, 3-[5-[[4-[[(2,2,2-trifluoroethyl)amino]methyl]phenyl]amino]-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

$$F_3C-CH_2-NH-CH_2 \\ NH \\ N \\ N \\ N \\ N$$

RN 840537-85-1 CAPLUS

CN Benzeneacetonitrile, 3-[5-[[4-(aminomethyl)phenyl]amino]-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 840537-88-4 CAPLUS

3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(3-fluorophenyl)-N-[4-CN [[(2,2,2-trifluoroethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

4

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN 2005:122889 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 142:219304

TITLE: Preparation of triazolopyrimidines as glycogen

synthase kinase 3 inhibitors

Freyne, Eddy Jean Edgard; Love, Christopher John; INVENTOR(S):

Cooymans, Ludwig Paul; Vandermaesen, Nele; Buijnsters,

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

Peter Jacobus Johannes Antonius; Willems, Marc;

Embrechts, Werner Constant Johan Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

REFERENCE COUNT:

PATE	ENT NO.	KI	ND DATE			DATE
WO 2	2005012304	A		210 WO 2	004-EP51457	20040712
	W: AE, AC, CC, CC, CC, CC, CC, CC, CC, CC, CC	G, AL, AM O, CR, CU H, GM, HR R, LS, LT Z, OM, PG M, TN, TR H, GM, KE Y, KG, KZ S, FI, FR	1, AT, AU, 1, CZ, DE, 2, HU, ID, 3, LU, LV, 4, PH, PL, 5, TT, TZ, 6, LS, MW, 6, MD, RU, 6, GB, GR,	AZ, BA, BB, DK, DM, DZ, IL, IN, IS, MA, MD, MG, PT, RO, RU, UA, UG, US, MZ, NA, SD, TJ, TM, AT, HU, IE, IT,	EC, EE, EG, JP, KE, KG, MK, MN, MW, SC, SD, SE, UZ, VC, VN, SL, SZ, TZ, BE, BG, CH, LU, MC, NL,	BY, BZ, CA, CH, ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW UG, ZM, ZW, AM, CY, CZ, DE, DK, PL, PT, RO, SE, GW, ML, MR, NE,
	2004260739	A		210 AU 2		20040712
EP 1 US 2 PRIORITY	.781659 R: AT, B: IT, L: 2006183747 APPLN. IN:	E, BG, CH I, LU, MC A FO.:	1, CY, CZ, C, NL, PL, 1 20060	509 EP 2 DE, DK, EE, PT, RO, SE, 817 US 2 WO 2 WO 2	004-766191 ES, FI, FR, SI, SK, TR, 006-565065 003-EP50314 003-EP350314 004-EP51457	20040712 20040712 20040712 GB, GR, HU, IE, AL, HR, LT, LV, MK 20060117 A 20030716 A 20030716 W 20040712
GTHER SOL	RCE(S):	CA	SKEACT 142	:219304; MA	RPAT 142:219	3 0 4

RN 842129-10-6 CAPLUS

CN 2-Propen-1-one, 3-(dimethylamino)-1-[3-[ethyl[3-(3-fluorophenyl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

$$Me_2N-CH=CH-C$$

$$N$$

$$N$$

$$N$$

$$N$$

$$N$$

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1984:472753 CAPLUS

DOCUMENT NUMBER:

101:72753

TITLE:

3,5-Disubstituted triazolopyrimidine derivatives

PATENT ASSIGNEE(S):

S. S. Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59062594	Α	19840410	JP 1982-171172	19820930
JP 03003675	В	19910121		•
PRIORITY APPLN. INFO.:			JP 1982-171172	19820930
GI				•

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AB Title derivs. I (R = Cl, MeO, PhO, MeNH, PhCH2S, HO, EtO, PhCH2NH, Me2N, pyrrolidino) were prepared by reduction of II, diazotization-cyclization, and optional reaction with R1H (R1 = R except Cl). Anticarcinogen test data on I were shown against Sarcoma 180 ascite tumor cells in mice. Thus,

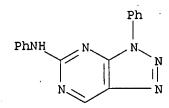
hydrogenation of 1 g II in EtOH containing 1 g Raney Ni with 300-350 mL H, filtration, concentration, dissoln. in 2N HCl-H2O-AcOH, addition of 0.16 g NaNO2 in

H2O during 15 min under ice cooling, and stirring 30 min under ice cooling 1 h at room temperature gave 0.48 g I (R = Cl) (III). Stirring 0.3 g III with 30 mL MeOH and 0.3 g K2CO3 4 h at room temperature gave 58% I (R = MeO).

IT 91322-11-1P

RN 91322-11-1 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, N,3-diphenyl- (9CI) (CA INDEX NAME)



L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1956:20104 CAPLUS

DOCUMENT NUMBER: 50:20104

ORIGINAL REFERENCE NO.: 50:4159i,4160a-f

TITLE: Purines. V. The preparation of certain 2,9-substituted

purines and azapurines

AUTHOR(S): Dille, K. L.; Sutherland, M. L.; Christensen, B. E.

CORPORATE SOURCE: Oregon State Coll., Corvallis

SOURCE: Journal of Organic Chemistry (1955), 20, 171-7

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C.A. 49, 12130h, 13256a. Adding 10 g. PhNH2 in 200 cc. absolute EtOH to 5 AB g. 2,4-di-chloro-5-nitropyrimidine (I) in 20 cc. absolute EtOH with stirring and refluxing the mixture 45 min. give 94% 2,4-di-anilino-5-nitropyrimidine (II), fluffy light yellow needles, m. 203-4°. Reducing 2 g. II in 150 cc. absolute EtOH 3-6 h. with 2 g. Raney Ni gives 70% 2,4-dianilino-5aminopyrimidine (III), m. 165-8° (decomposition). Adding 0.16 g. NaNO2 to 0.57 g. III dissolved in 400 cc. 5% AcOH at 10-20°, stirring the mixture 15 min., and adjusting the solution to pH 8-9 give 62% 3-phenyl-5-anilino-1H-v-triazolo[d]pyrimidine, light green needles, m. 195°. Refluxing 0.91 g. III in 10 cc. 90% HCO2H 15 min., evaporating the HCO2H, dissolving the residue in 5 cc. H2O, and adjusting the solution to pH 7-8 with NH4OH give 0.97 g. 2,4-dianilino-5-formamidopyrimidine (IV), needles, m. 193.5-5° (the rate of heating affects the m.p.; another determination gives 187-9°, resolidifying and remelting at 215°). Gently refluxing 1 g. IV 15 min. with 10 cc. HCO-NH2, adding 10 cc. H2O, and adjusting the solution to pH 7-8 give 92% 2-anilino-9-phenylpurine, needles, m. 215-16°. Adding slowly 5 g. I in 20 cc. EtOH to 8.5 cc. PrNH2 in 100 cc. EtOH and refluxing the mixture 0.5 h. give 91% 2,4-di-propylamino-5-nitropyrimidine (V), m. 121-2°, which (2 g.) is reduced in 115 cc. MeOH with 2 g. Raney Ni 2-3 h. at 30 lb. and the residue of the filtered and evaporated solution treated with H2SO4, giving 72% 2,4-dipropylamino-5-aminopyrimidine sulfate (VI). Stirring 1.84 g. VI in 200 cc. H2O containing 2 drops H2SO4 0.5 h. at 10-20° with 0.55 g. NaNO2 and adjusting the solution to pH 7-8 give 49% 3-propyl-5-propylamino-1Hv-triazolo[d]pyrimidine, long needles, m. 97.5-8°. Refluxing the reduction product of 2.65 g. V with 15 cc. HCO2H, adding 5 cc. H2O, and adjusting the solution to pH 7-8 give 1.5 g. 2,4-dipropylamino-5formamidopyrimidine, shiny platelets, m. 159.5-60.5°, which (0.94

g.), refluxed 15 min. with 10 cc. HCONH2, gives 0.35 g. 2-propylamino-9-propyl-purine, m. 84-5°. Refluxing 8.25 g. 2-chloro-4-(2-hydroxyethylamino)-5-nitropyrimidine in 120 cc. EtOH saturated with NH3 in an NH3 atmospheric gives 93% 2-amino-4-(2-hydroxyethylamino)-5nitropyrimidine (VII), m. 192-4°. Reduction of 2 g. VII in MeOH 1-2 h. with Raney Ni at 30 lb. and acidification of the filtered and concentrated solution with H2SO4 give 1.46 g. sulfate, m. 169-70°, which, treated with NaNO2, gives 65% 3-(2-hydroxyethyl)-5-amino-1H-v-triazolo[d]pyrimidine, m. 220-1°. Reducing 4 g. VII with 5 g. Raney Ni in 200 cc. MeOH and concentrating the filtered solution give 1 g. 2,5-diamino-4-(2hydroxyethylamino)pyrimidine, m. 140-1.5°, which, refluxed 15 min. with 10 cc. HCO2H, gives 0.3 g. 5-formamido derivative (VIII), m. 165-6°. Refluxing 0.55 g. VIII 15 min. with 10 cc. HCONH2 gives 0.3 g. 2-amino-9-(2-formyloxyethyl)purine, needles, m. 172-3°. Adding AcOH dropwise to 2 g. 2-mercapto-4,5-diaminopyrimidine in 1.2 l. H2O containing 2 g. NaNO2 at 30° gives 1.6 g. 5-mercapto-1H-vtriazolo[d]pyrimidine, exploding on a m.p. block. IT 91322-11-1P, 3H-v-Triazolo[4,5-d]pyrimidine, 5-anilino-3-phenyl-

RL: PREP (Preparation) (preparation of)

RN 91322-11-1 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, N,3-diphenyl- (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 16:13:18 ON 02 JUL 2007)

FILE 'REGISTRY' ENTERED AT 16:13:28 ON 02 JUL 2007

STRUCTURE UPLOADED

L2 0 S L1

L3 1 S L1 FULL .

FILE 'CAPLUS' ENTERED AT 16:14:08 ON 02 JUL 2007

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FILE 'REGISTRY' ENTERED AT 16:14:46 ON 02 JUL 2007

L5 STRUCTURE UPLOADED

L6 28 S L5

L7 601 S L5 FULL SSS

FILE 'CAPLUS' ENTERED AT 16:17:58 ON 02 JUL 2007

L8 2492 S D7 8 S L7 L9

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION -6.24 -7.02

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